

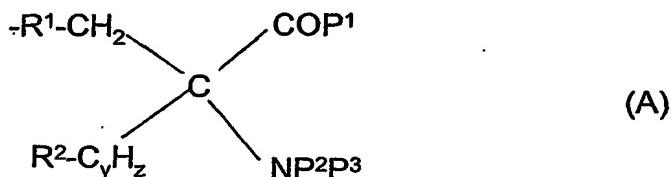
Claims

1. A process for the production of an ^{18}F -labelled tracer which comprises treatment of a solid support-bound precursor of formula (I):

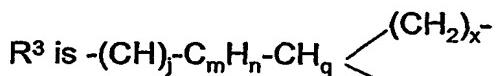
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SOLID SUPPORT-LINKER-SO₂-O -TRACER (I)

wherein the TRACER is of formula (A):

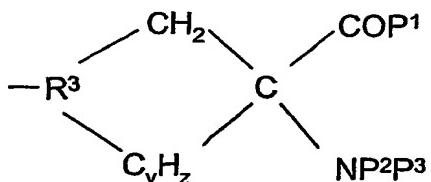


10 wherein P¹ is hydroxy or a protecting group, P² and P³ are independently hydrogen or a protecting group, R¹ is a bond, -CH=CH-, or together with R² forms R³;



R² is hydrogen or together with R¹ forms R³;

15 such that



is formed

wherein x is 0 or 1;

y is 1 or 2;

20 z is 1, 2, 3, or 4 and z>y if y is 2;

q is 1 or 0 if n is 1 and j is 0;

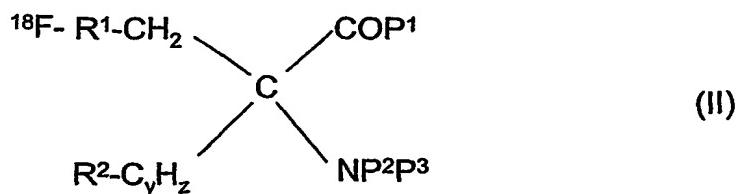
n is 1 or 2, but 0 if m is 0;

m is 0 or 1; and

j is 0 or 1;

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with $^{18}\text{F}^-$ to produce the labelled tracer of formula (II)



wherein R^1 , R^2 , y , z , P^1 , P^2 and P^3 are as defined for the compound of formula (I),

5 optionally followed by

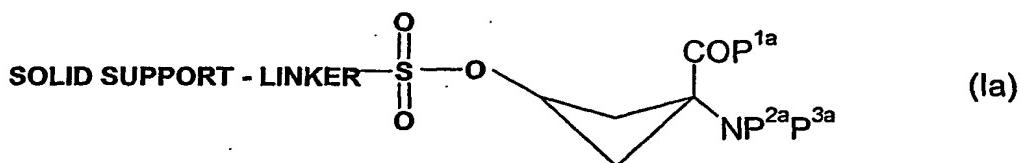
- (i) removal of excess $^{18}\text{F}^-$ for example by ion-exchange chromatography; and/or
- (ii) removal of any protecting groups; and/or
- (iii) removal of organic solvent; and/or
- (iv) formulation of the resultant compound of formula (II) as an aqueous solution

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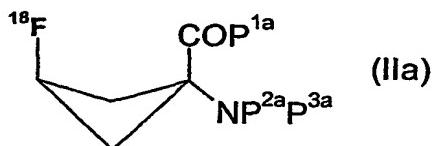
2. A process for the production of an ^{18}F -labelled tracer according to claim 1 wherein R^1 and R^2 form the group R^3 .

15 3. A process for the production of an ^{18}F -labelled tracer according to claim 1 or 2 wherein R^1 and R^2 form the group R^3 and x is 0, y is 1, z is 2, q is 1, m is 0 and j is 0.

20 4. A process according to any one of claims 1 to 3 for the production of $[^{18}\text{F}]$ -1-amino-3-fluorocyclobutane-1-carboxylic acid ($[^{18}\text{F}]\text{-FACBC}$) which comprises treatment of a solid support-bound precursor of formula (Ia):



25 wherein P^{2a} and P^{3a} are each independently hydrogen or a protecting group, and P^{1a} is hydroxyl or a carboxylic acid protecting group;
with $^{18}\text{F}^-$ to produce the labelled tracer of formula (IIa)



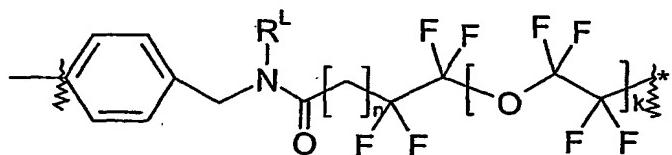
wherein P^{1a}, P^{2a}, and P^{3a} are each as defined in Formula (Ia);

5 optionally followed by

- (i) removal of excess ¹⁸F⁻, for example by ion-exchange chromatography; and/or
- (ii) removal of the protecting groups; and/or
- (iii) removal of organic solvent; and/or
- (iv) formulation of the resultant compound of formula (IIa) as an aqueous solution.

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5. A process according to claim 4 wherein the LINKER in the compound of formula (Ia) is



wherein k is an integer of 0 to 3, n is an integer of 1 to 16, and R^L is hydrogen or

15 C₁₋₆ alkyl.

6. A process according to claim 4 or 5 in which P^{1a} is C₁₋₆alkoxy, P^{2a} is hydrogen or C₁₋₆alkoxycarbonyl, and P^{3a} is C₁₋₆alkoxycarbonyl.

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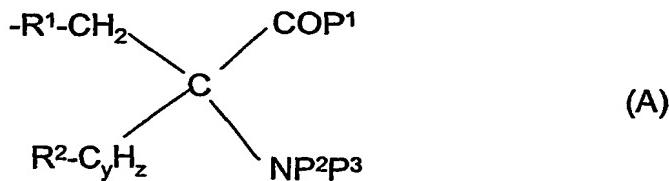
7. A process for the production of a ¹⁸F-labelled tracer of formula (II) or (IIa), according to any one of claims 1 to 6, for use in PET.

8. A compound of formula (I)

SOLID SUPPORT-LINKER-SO₂-O -TRACER (I)

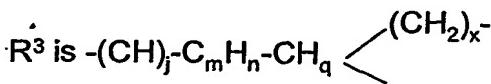
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wherein the TRACER is of formula (A):



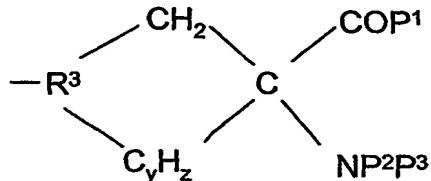
wherein P¹ is hydroxy or a protecting group, P² and P³ are independently hydrogen or a protecting group, R¹ is a bond, -CH=CH-, or together with R² forms R³;

5



R² is hydrogen or together with R¹ forms R³;

such that



10 is formed

wherein x is 0 or 1;

y is 1 or 2;

z is 1, 2, 3, or 4 and z>y if y is 2;

q is 1 or 0 if n is 1 and j is 0;

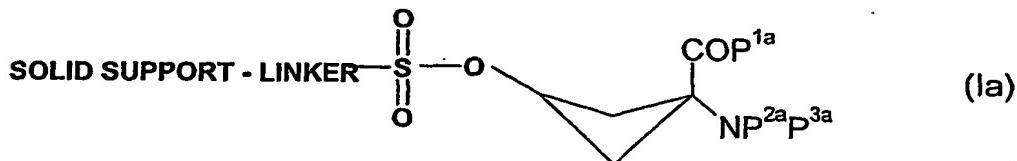
15 n is 1 or 2, but 0 if m is 0;

m is 0 or 1; and

j is 0 or 1.

9. A compound of formula (Ia):

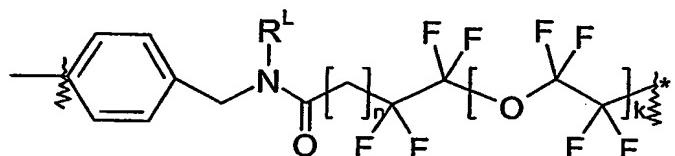
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wherein P^{2a} and P^{3a} are each independently hydrogen or a protecting group, and

P^{1a} is hydroxyl or a protecting group.

10. A compound according to claim 8 or 9 in which the LINKER is



5 wherein k is an integer of 0 to 3, n is an integer of 1 to 16, and R^L is hydrogen or C_{1-6} alkyl.

11. A compound according to any one of claims 8 to 10 in which P^{1a} is C_{1-6} alkoxy, P^{2a} is hydrogen or C_{1-6} alkoxycarbonyl, and P^{3a} is C_{1-6} alkoxycarbonyl.

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12. A radiopharmaceutical kit for the preparation of an ^{18}F -labelled tracer for use in PET, which comprises:

(i) a vessel containing a compound of formula (I) or (Ia) as defined in any one of claims 1 to 6; and

15 (ii) means for eluting the vessel with a source of $^{18}F^-$;

(iii) an ion-exchange cartridge for removal of excess $^{18}F^-$; and optionally

(iv) a cartridge for solid-phase deprotection of the resultant product of formula (II) or (IIa) as defined in any one of claims 1 to 6.

20 13. A cartridge for a radiopharmaceutical kit for the preparation of an ^{18}F -labelled tracer for use in PET which comprises:

(i) a vessel containing a compound of formula (I) or (Ia) as defined in any one of claims 1 to 6; and

(ii) means for eluting the vessel with a source of $^{18}F^-$.

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14. A method for obtaining a diagnostic PET image which comprises the step of using a radiopharmaceutical kit according to claim 12 or a cartridge for a radiopharmaceutical kit according to claim 13.